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NEWS 4 MAR 20 MARPAT now updated daily
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NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 10 APR 30 CA/CAplus enhanced with 1870-1889 U.S. patent records
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 12 MAY 01 New CAS web site launched
NEWS 13 MAY 08 CA/CAplus Indian patent publication number format defined
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload
NEWS 17 MAY 21 CA/CAplus enhanced with additional kind codes for German patents
NEWS 18 MAY 22 CA/CAplus enhanced with IPC reclassification in Japanese patents
NEWS 19 JUN 27 CA/CAplus enhanced with pre-1967 CAS Registry Numbers
NEWS 20 JUN 29 STN Viewer now available
NEWS 21 JUN 29 STN Express, Version 8.2, now available
NEWS 22 JUL 02 LEMBASE coverage updated
NEWS 23 JUL 02 LMEDLINE coverage updated
NEWS 24 JUL 02 SCISEARCH enhanced with complete author names
NEWS 25 JUL 02 CHEMCATS accession numbers revised
NEWS 26 JUL 02 CA/CAplus enhanced with utility model patents from China

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE LAST UPDATED: 5 Jul 2007 (20070705/ED)

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=> s 5-HT receptor?
6425639 5
56268 HT
5355 HTS
61483 HT
(HT OR HTS)
842155 RECEPTOR?
L1 13577 5-HT RECEPTOR?
(5 (W) HT (W) RECEPTOR?)

=> s l1 and py<2003
22885785 PY<2003
L2 8597 L1 AND PY<2003

=> s l2 and disorder?
457962 DISORDER?
L3 1178 L2 AND DISORDER?

=> s l3 and alzheimer?
45686 ALZHEIMER?
L4 100 L3 AND ALZHEIMER?

=> s l4 and parkinson?
27244 PARKINSON?
L5 31 L4 AND PARKINSON?

=> d ibib abs hitstr l4 1-20

L4 ANSWER 1 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:964915 CAPLUS

DOCUMENT NUMBER: 141:422907
 TITLE: Protein-protein interactions identifying drug targets and compositions and methods for treating neurological disorders and diseases
 INVENTOR(S): Roch, Jean-Marc; Bartel, Paul; Heichman, Karen
 PATENT ASSIGNEE(S): Myriad Genetics, Incorporated, USA
 SOURCE: U.S. Pat. Appl. Publ., 247 pp., Cont.-in-part of U.S. Ser. No. 194,967.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| US 2004226056 | A1 | 20041111 | US 2004-776013 | 20040209 |
| US 2002040484 | A1 | 20020404 | US 2001-948904 | 20010910 <-- |
| US 2002120947 | A1 | 20020829 | US 2001-949143 | 20010910 <-- |
| US 2002045201 | A1 | 20020418 | US 2001-970898 | 20011005 <-- |
| US 2002048769 | A1 | 20020425 | US 2001-970814 | 20011005 <-- |
| US 2002059653 | A1 | 20020516 | US 2001-970666 | 20011005 <-- |
| US 2002054876 | A1 | 20020509 | US 2001-971675 | 20011009 <-- |
| US 2002069424 | A1 | 20020606 | US 2001-971677 | 20011009 <-- |
| US 2002106676 | A1 | 20020808 | US 2001-973963 | 20011011 <-- |
| US 6653102 | B2 | 20031125 | | |
| US 2002115606 | A1 | 20020822 | US 2001-973964 | 20011011 <-- |
| US 2002124273 | A1 | 20020905 | US 2001-973965 | 20011011 <-- |
| US 2002164655 | A1 | 20021107 | US 2001-973941 | 20011011 <-- |
| US 2002115607 | A1 | 20020822 | US 2001-975072 | 20011012 <-- |
| WO 2002032286 | A2 | 20020425 | WO 2001-US32186 | 20011016 <-- |
| WO 2002032286 | A3 | 20030116 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
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 GQ, GW, ML, MR, NE, SN, TD, TG

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|---------------|----|----------|----------------|--------------|
| AU 200214589 | A | 20020429 | AU 2002-14589 | 20011016 <-- |
| US 2007087363 | A1 | 20070419 | US 2006-523767 | 20060918 |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 1998-113534P | P | 19981222 |
| US 1999-124120P | P | 19990312 |
| US 1999-141243P | P | 19990630 |
| US 1999-466139 | B3 | 19991221 |
| US 2000-240790P | P | 20001017 |
| US 2001-304775P | P | 20010713 |
| US 2001-948904 | B2 | 20010910 |
| US 2001-975072 | B2 | 20011012 |
| US 2002-194967 | A2 | 20020715 |
| WO 2001-US32186 | W | 20011016 |
| US 2004-776013 | B2 | 20040209 |
| US 2005-717799P | P | 20050916 |
| US 2005-748419P | P | 20051207 |
| US 2005-751918P | P | 20051219 |
| US 2006-802018P | P | 20060519 |

AB The present invention generally relates to methods and compns. for treating neurol. disorders and diseases. The invention is based on the discovery of novel interactions involving several newly discovered interacting proteins in neurodegenerative disorders and

neurodegenerative disease pathways, suggesting that modulation of such interactors may lead to alleviation of symptoms, delay of onset of symptoms, or treatment of the diseases or symptoms of the diseases. The interacting proteins identified in yeast two-hybrid assay systems include: focal adhesion kinase 2 (FAK2), δ -catenin, glypican 1, HLA-B-associated transcript 3 (BAT3), low-d. lipoprotein receptor-related protein 2 (LRP2), transthyretin, protein PN7740, amyloid β (A4) precursor protein-binding family A member 1 (APBA1 or Mint1), presenilin 1 alternative transcript (PSI(467)), glutamate ammonia ligase, and others. In addition, the protein-protein interactions can facilitate the formation of protein complexes both in vitro and in vivo. This enables novel approaches for drug screening to select not only drug candidates that modulate the well-known drug targets employed in the interaction discovery process, but also drug candidates that modulate either the newly discovered interactor proteins or the protein-protein interactions themselves.

L4 ANSWER 2 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:802568 CAPLUS

DOCUMENT NUMBER: 141:296050

TITLE: Preparation of 1-alkylsulfonylheterocyclbenzazoles and related compounds as 5-hydroxytryptamine-6 ligands

INVENTOR(S): Kelly, Michael Gerard; Cole, Derek Cecil

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp., Cont.-in-part of U.S. Ser. No. 3,015, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

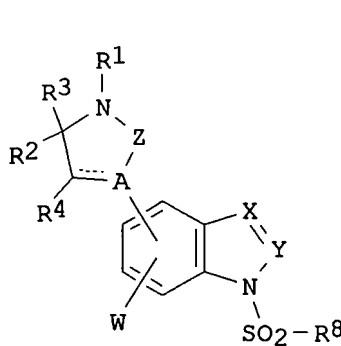
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

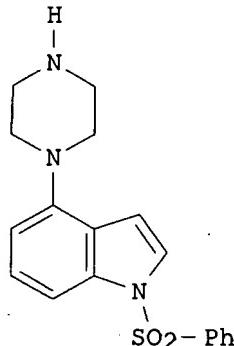
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 2004192749 | A1 | 20040930 | US 2004-759595 | 20040116 |
| US 7034029 | B2 | 20060425 | | |
| US 2002115670 | A1 | 20020822 | US 2001-3015 | 20011101 <-- |
| US 2004087595 | A1 | 20040506 | US 2003-727956 | 20031204 |
| US 2004132741 | A1 | 20040708 | US 2003-728330 | 20031204 |
| US 2006116384 | A1 | 20060601 | US 2006-324865 | 20060104 |
| PRIORITY APPLN. INFO.: | | | US 2000-245118P | P 20001102 |
| | | | US 2001-3015 | B2 20011101 |
| | | | US 2004-759595 | A3 20040116 |

OTHER SOURCE(S): MARPAT 141:296050

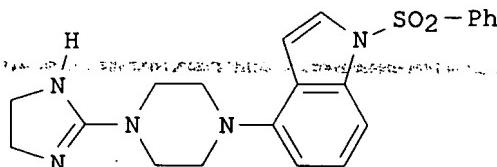
GI



I



II



III

AB Title compds. I [A = C, CR10, N; X = CR11, N; Y = CR7, N with the proviso that when X = N, then Y = CR7; Z = (CR5R6)m; W = (R9)n; R1 = H, alkylcarbonyl, alkylcarbonyloxy, etc.; R2, R3, R4, R5, R6 = H, halo, OH, etc.; R7, R11 = H, halo, alkyl, etc.; R8 = alkyl, (un)substituted aryl, heteroaryl; R9 = H, halo, alkyl, etc.; R10 = H, OH, (un)substituted alkoxy; m = 1-3; n = 0-3] and their pharmaceutically acceptable salts were prepared. For example, condensation of 2-methylthio-2-imidazoline hydroiodide and amine II, e.g., prepared from 1H-indol-4-ylpiperazine in 3-steps, afforded piperazine III. In 5-HT6 binding affinity assays, 53-examples of compds. I exhibited Ki values ranging from 0.3-306 nM, e.g., the Ki of piperazine III was 24 nM. Of note, compds. I demonstrated up to a 50-fold selectivity for the 5-HT6 receptor when compared to their affinity at the 5-HT7 receptor (sic). Compds. I are claimed useful for the treatment of disorders related to or affected by the 5-HT6 receptor, e.g., motor, anxiety and cognitive disorders.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:485556 CAPLUS

DOCUMENT NUMBER: 141:35967

TITLE: Production of neuroblasts in culture media supplemented with a trophic factor

Gage, Fred H.; Ray, Jasodhara

USA

SOURCE: U.S. Pat. Appl. Publ., 21 pp., Cont. of U.S. 6,599,695.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| US 2004048373 | A1 | 20040311 | US 2003-622206 | 20030718 |
| US 5766948 | A | 19980616 | US 1993-147843 | 19931103 <-- |

| | | | | |
|---|----|----------|----------------|--------------|
| WO 9416059 | A1 | 19940721 | WO 1994-US185 | 19940105 <-- |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU,
JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU,
SD, SE, SK, UA, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9460836 | A | 19940815 | AU 1994-60836 | 19940105 <-- |
| EP 677100 | A1 | 19951018 | EP 1994-907155 | 19940105 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 08505528 | T | 19960618 | JP 1994-516204 | 19940105 <-- |
| US 6265175 | B1 | 20010724 | US 1997-884427 | 19970627 <-- |
| US 6013521 | A | 20000111 | US 1998-65858 | 19980424 <-- |
| US 6020197 | A | 20000201 | US 1998-65883 | 19980424 <-- |
| US 6045807 | A | 20000404 | US 1998-95769 | 19980610 <-- |
| US 2002039789 | A1 | 20020404 | US 2001-915229 | 20010724 <-- |
| US 6599695 | B2 | 20030729 | | |
| JP 2004121258 | A | 20040422 | JP 2003-370713 | 20031030 |
| US 2007053887 | A1 | 20070308 | US 2006-592504 | 20061103 |
| PRIORITY APPLN. INFO.: | | | US 1993-1543 | B2 19930106 |
| | | | US 1993-147843 | A3 19931103 |
| | | | US 1995-445075 | B1 19950519 |
| | | | US 1997-884427 | A1 19970627 |
| | | | US 2001-915229 | A1 20010724 |
| | | | JP 1994-516204 | A3 19940105 |
| | | | WO 1994-US185 | W 19940105 |
| | | | US 2003-622206 | A1 20030718 |

AB A method for producing a neuroblast and a cellular composition comprising an enriched population of neuroblast cells is provided. Also disclosed are methods for identifying compns. which affect neuroblasts and for treating a subject with a neuronal disorder, and a culture system for the production and maintenance of neuroblasts. Neuronal cells are cultured in a serum-free media supplemented with at least one trophic factor (e.g., basic fibroblast growth factor) using a vessel surfaced treated with polybasic amino acid which allows attachment of the cell. The development of primary neuronal cultures maintained as cell lines, known as neuroblasts, using neurotrophic factors in the absence of oncogenic immortalization, now permits investigation of fundamental questions regarding the biochem. and cellular properties of these cells and the dynamics of interaction between their cellular and chemical environment.

L4 ANSWER 4 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:473338 CAPLUS
 DOCUMENT NUMBER: 141:33838
 TITLE: Thiol reactive agents as a therapeutic modality
 INVENTOR(S): Stamler, Jonathan S.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. 6,472,390.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| US 2004110691 | A1 | 20040610 | US 2003-677752 | 20031003 |
| US 6472390 | B1 | 20021029 | US 2001-986807 | 20011113 <-- |
| US 2003092633 | A1 | 20030515 | US 2002-280085 | 20021025 |
| US 6627602 | B2 | 20030930 | | |
| US 2004053852 | A1 | 20040318 | US 2003-608120 | 20030630 |
| US 6964984 | B2 | 20051115 | | |
| WO 2005034860 | A2 | 20050421 | WO 2004-US32180 | 20041001 |

WO 2005034860

A3

20061019

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, US
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

EP 1729747

A2

20061213

EP 2004-793914

20041001

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, HR, LT, LV, MK

PRIORITY APPLN. INFO.:

US 2001-986807

A2 20011113

US 2002-280085

A1 20021025

US 2003-608120

A2 20030630

US 2003-677752

A1 20031003

WO 2004-US32180

W 20041001

AB A patient with a disease associated with a receptor having a cysteine residue is treated with a thiol reactive agent. The diseases include neurodegenerative diseases. Diseases characterized by skeletal muscle atrophy are also treated.

L4 ANSWER 5 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:335973 CAPLUS

DOCUMENT NUMBER: 138:383424

TITLE: Association between 5-HT2A receptor polymorphism and psychotic symptoms in Alzheimer's disease.

[Erratum to document cited in CA136:261167]

AUTHOR(S): Nacmias, B.; Tedde, A.; Forleo, P.; Piacentin, S.; Guarnieri, B. M.; Bartoli, A.; Ortenzi, L.; Petruzzi, C.; Serio, A.; Marcon, G.; Sorbi, S.

CORPORATE SOURCE: Department of Neurological and Psychiatric Sciences, University of Florence, Florence, Italy

SOURCE: Biological Psychiatry (2001), 50(10), 821

CODEN: BIPCBF; ISSN: 0006-3223

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The corrected versions of Tables 1 and 2 are given.

L4 ANSWER 6 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:15310 CAPLUS

DOCUMENT NUMBER: 139:240108

TITLE: Involvement of 5-HT2A/2B/2C receptors on memory formation: simple agonism, antagonism, or inverse agonism?

AUTHOR(S): Meneses, Alfredo

CORPORATE SOURCE: Department of Pharmacobiology, CINVESTAV-IPN, Mexico City, 14330, Mex.

SOURCE: Cellular and Molecular Neurobiology (2002), 22(5/6), 675-688

CODEN: CMNEDI; ISSN: 0272-4340

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The 5-HT2 receptors subdivision into the 5-HT2A/2B/2C subtypes along with the advent of the selective antagonists has allowed a more detailed investigation on the role and therapeutic significance of these subtypes in cognitive functions. The present study further analyzed the 5-HT2 receptors role on memory consolidation. The SB-200646 (a selective

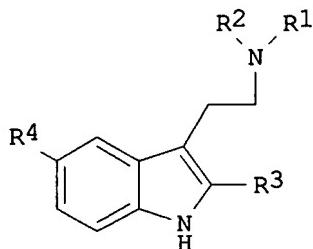
5-HT2B/2C receptor antagonist) and LY215840 (a nonselective 5-HT2/7 receptor antagonist) posttraining administration had no effect on an autoshaped memory consolidation. However, both drugs significantly and differentially antagonized the memory impairments induced by 1-(3-chlorophenyl)piperazine (mCPP), 1-naphthyl-piperazine (1-NP), mesulergine, or N-(3-trifluoromethylphenyl) piperazine (TFMPP). In contrast, SB-200646 failed to modify the facilitatory precognitive effect produced by (\pm)-2,5-dimethoxy-4-iodoamphetamine (DOI) or ketanserin, which were sensitive to MDL100907 (a selective 5-HT2A receptor antagonist) and to a LY215840 high dose. Finally, SB-200646 reversed the learning deficit induced by dizocilpine, but not that by scopolamine; while SB-200646 and MDL100907 coadministration reversed memory deficits induced by both drugs. 5. It is suggested that 5-HT2B/2C receptors might be involved on memory formation probably mediating a suppressive or constraining action. Whether the drug-induced memory impairments in this study are explained by simple agonism, antagonism, or inverse agonism at 5-HT2 receptors remains unclear at this time. Notably, the 5-HT2 receptor subtypes blockade may provide some benefit to reverse poor memory consolidation conditions associated with decreased cholinergic, glutamatergic, and/or serotonergic neurotransmission.

REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2003:5771 CAPLUS
 DOCUMENT NUMBER: 138:49966
 TITLE: 5-halo-tryptamine derivatives used as ligands of the 5-HT6 and/or 5-HT7 serotonin receptors, preparation, and therapeutic use
 INVENTOR(S): Di Cesare, Maria Assunta; Minetti, Patrizia; Tarzia, Giorgio; Spadoni, Gilberto
 PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy
 SOURCE: PCT Int. Appl., 30 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2003000252 | A1 | 20030103 | WO 2002-IT398 | 20020617 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| IT 2001RM0356 | A1 | 20021223 | IT 2001-RM356 | 20010621 <- |
| CA 2455296 | A1 | 20030103 | CA 2002-2455296 | 20020617 |
| AU 2002317482 | A1 | 20030108 | AU 2002-317482 | 20020617 |
| EP 1404317 | A1 | 20040407 | EP 2002-745793 | 20020617 |
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| BR 2002010538 | A | 20040622 | BR 2002-10538 | 20020617 |
| HU 200400250 | A2 | 20040830 | HU 2004-250 | 20020617 |
| CN 1535146 | A | 20041006 | CN 2002-814337 | 20020617 |
| JP 2004534816 | T | 20041118 | JP 2003-506898 | 20020617 |
| US 2004235899 | A1 | 20041125 | US 2004-481433 | 20040419 |

US 7098233 B2 20060829
 PRIORITY APPLN. INFO.: IT 2001-RM356 A 20010621
 OTHER SOURCE(S): MARPAT 138:49966 WO 2002-IT398 W 20020617
 GI



I

AB Compds. I [R1, R2 = H, (un)branched C1-C6 alkyl; R3 = (un)branched C1-C6 alkyl; R4 = halo], and pharmaceutically acceptable salts thereof, are useful as active ingredients in the preparation of medicaments used as ligands of the 5-HT6 and/or 5-HT7 serotonergic receptors. Compds. of the invention are useful for the treatment of hypertension, migraine, cognitive disorders, etc. Preparation and receptor affinity of e.g. 5-bromo-2-methyl-N,N-dimethyltryptamine is described.

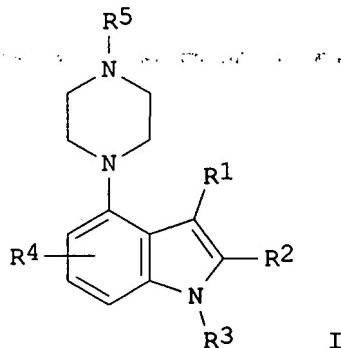
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:977788 CAPLUS
 DOCUMENT NUMBER: 138:55865
 TITLE: Preparation of 4-piperazinylindoles with 5-HT6 receptor affinity
 INVENTOR(S): Briggs, Andrew John; Clark, Robin Douglas; Harris, Ralph New, III; Repke, David Bruce; Wren, Douglas Leslie
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2002102774 | A1 | 20021227 | WO 2002-EP6201 | 20020606 <-- |
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| CA 2450245 | A1 | 20021227 | CA 2002-2450245 | 20020606 <-- |
| AU 2002345587 | A1 | 20030102 | AU 2002-345587 | 20020606 |
| EP 1401812 | A1 | 20040331 | EP 2002-780760 | 20020606 |
| EP 1401812 | B1 | 20060628 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |

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| BR 2002010411 | A | 20040817 | BR 2002-10411 | 20020606 |
| JP 2005501019 | T | 20050113 | JP 2003-505317 | 20020606 |
| CN 1694866 | A | 20051109 | CN 2002-811846 | 20020606 |
| AT 331707 | T | 20060715 | AT 2002-780760 | 20020606 |
| US 2003045527 | A1 | 20030306 | US 2002-172360 | 20020614 |
| US 6790848 | B2 | 20040914 | | |
| ZA 2003009258 | A | 20050228 | ZA 2003-9258 | 20031127 |
| PRIORITY APPLN. INFO.: | | | US 2001-298834P | P 20010615 |
| | | | US 2002-378748P | P 20020508 |
| | | | WO 2002-EP6201 | W 20020606 |

OTHER SOURCE(S): MARPAT 138:55865
GI



AB The title compds. [I; R1 = H, halo, haloalkyl, alkyl; R2 = H, alkyl, alkoxy, alkylthio; R3 = SO₂Ar; Ar = (un)substituted aryl, heteroaryl; R4 = H, halo, alkyl, etc.; R5 = H, CH₂Ph, alkyl] and their pharmaceutically acceptable salts have generally 5-HT₆ receptor affinity, were prepared and formulated. E.g., a 3-step synthesis of I.HCl [R1, R2 = H; R3 = naphthalene-1-sulfonyl; R4, R5 = H], starting with 4-nitro-1H-indole and naphthalene-1-sulfonyl chloride, which showed pK_i of 9.8 against 5-HT₆ receptor binding, was given.

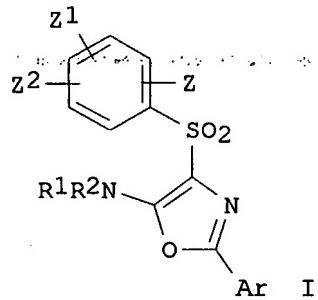
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:964338 CAPLUS
 DOCUMENT NUMBER: 138:24708
 TITLE: Preparation of arylsulfonyloxazolamines as 5-HT₆ ligands
 INVENTOR(S): Greiner, Hartmut; Bartoszyk, Gerd; Boettcher, Henning; Barnickel, Gerhard; Cezanne, Bertram
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002100842 | A1 | 20021219 | WO 2002-EP5394 | 20020516 <-- |
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LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH,
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 UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
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 DE 10129940 A1 20021219 DE 2001-10129940 20010613 <--
 AU 2002344970 A1 20021223 AU 2002-344970 20020516 <--
 PRIORITY APPLN. INFO.: DE 2001-10129940 A 20010613
 WO 2002-EP5394 W 20020516

OTHER SOURCE(S): MARPAT 138:24708
GI



AB Title compds. [I; R1 R2 = H, A, cycloalkyl, (CH₂)_nAr, (CH₂)_nOA, (CH₂)_nNH₂, (CH₂)_nNHA, (CH₂)_nA₂, alkenyl; NR₁R₂ = mononuclear saturated heterocycle having 1-2 N, O and/or S atoms; Z, Z₁, Z₂ = H, A, CF₃, NO₂, Hal, OH, OA, OCF₃, SCF₃, NH₂, NHA, NA₂; A = alkyl; Ar = Ph which is mono, di- or trisubstituted by Z; Hal = F, Cl, Br, iodo; n = 1-4], were prepared Thus, N-(1-benzenesulfonyl-2,2-dichlorovinyl)-4-fluorobenzamide (preparation given) and methylamine solution are stirred overnight in THF at room temperature to give [4-benzenesulfonyl-2-(4-fluorophenyl)oxazol-5-yl]methylamine. I have a selective affinity for 5-HT₆ receptors with an inhibition constant of <4 μM.

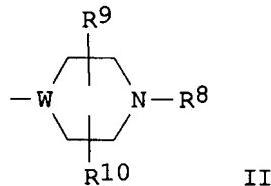
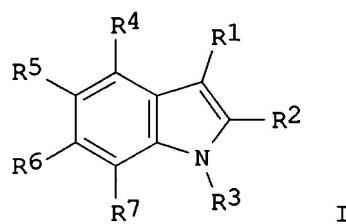
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:946268 CAPLUS
DOCUMENT NUMBER: 138:24728
TITLE: Preparation of new indole derivatives with 5-HT₆ receptor affinity
INVENTOR(S): Beard, Colin Charles; Clark, Robin Douglas; Fisher, Lawrence Emerson; Harris, Ralph New, III; Repke, David Bruce
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|--------------|
| WO 2002098857 | A1 | 20021212 | WO 2002-EP5890 | 20020529 <-- |
| WO 2002098857 | A8 | 20040422 | | |

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 NZ 529631 A 20031219 NZ 2002-529631 20020529
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 IN 2003CN01913 A 20060106 IN 2003-CN1913 20031204
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 US 2004248902 A1 20041209 US 2004-876863 20040625
 HK 1068610 A1 20060901 HK 2005-100706 20050127
 US 2005171118 A1 20050804 US 2005-71726 20050303
 PRIORITY APPLN. INFO.: US 2001-296705P P 20010607
 US 2001-340212P P 20011213
 WO 2002-EP5890 W 20020529
 US 2002-164660 A3 20020606
 US 2004-876863 A1 20040625

OTHER SOURCE(S): MARPAT 138:24728
GI



AB The title compds. [I; R1 = S(O)0-2A, COA, (CH2)0-1A (wherein A = (un)substituted aryl, heteroaryl); R2 = H, alkyl, alkoxy, alkylthio; R3 = H, alkyl; R4 = H, halo, alkyl, alkoxy, alkylthio, etc.; one of R5-R7 = II (wherein W = CH, N; R8-R10 = H, alkyl; or R8 and R9 together may form alkylene) and the others = H, halo, alkyl, etc.] and their pharmaceutically acceptable salts which have generally 5-HT6 receptor affinity, were prepared and formulated. E.g., a 6-step synthesis of I.HCl [R1 = SO2Ph; R2-R6 = H; R7 = piperazino], starting with 3-methyl-2-nitrophenol, which showed pKi of 9.28 against 5-HT6 receptor

binding, was given.

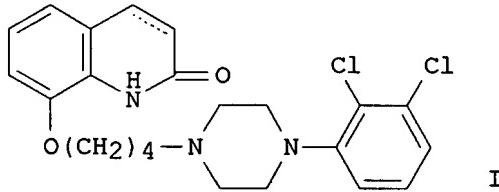
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:889556 CAPLUS
DOCUMENT NUMBER: 137:363096
TITLE: Carbostyryl derivative 5-HT1a receptor subtype agonist for treatment of central nervous system disorders
.INVENTOR(S): Jordan, Shaun; Kikuchi, Tetsuro; Tottori, Katsura; Hirose, Tsuyoshi; Uwahodo, Yasufumi
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 8 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 2002173513 | A1 | 20021121 | US 2002-55915 | 20020128 <-- |
| US 7053092 | B2 | 20060530 | | |
| US 2004235860 | A1 | 20041125 | US 2004-876605 | 20040628 |
| PRIORITY APPLN. INFO.: | | | US 2001-331370P | P 20010129 |
| | | | US 2002-55915 | A3 20020128 |

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AB The invention provides a method for treating a patient suffering from a disorder of the central nervous system associated with the 5-HT1a receptor subtype, comprising as an active ingredient a carbostyryl derivative I (carbon-carbon bond between 3- and 4-positions in carbostyryl skeleton is single or double bond), or a salt thereof.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

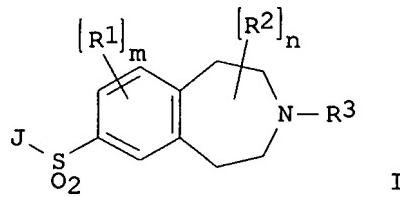
L4 ANSWER 12 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:868745 CAPLUS
DOCUMENT NUMBER: 137:369983
TITLE: Preparation of benzo[d]azepines as 5-HT6 receptor antagonists
.INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
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| WO 2002089811 | A1 | 20021114 | WO 2002-EP4804 | 20020502 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
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| AU 2002341102 | A1 | 20021118 | AU 2002-341102 | 20020502 <-- |
| EP 1392316 | A1 | 20040303 | EP 2002-750872 | 20020502 |
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JP 2004532240 T 20041021 JP 2002-586946 20020502
AT 293448 T 20050515 AT 2002-750872 20020502
ES 2238583 T3 20050901 ES 2002-2750872 20020502
US 2004192671 A1 20040930 US 2004-476902 20040519
GB 2001-11186 A 20010508
WO 2002-EP4804 W 20020502 | | | |

OTHER SOURCE(S): MARPAT 137:369983

GI



AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; R2 = alkyl; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-8; J = (un)substituted indol-1-yl, indazol-1-yl, carbazol-9-yl, etc.], useful in the treatment of disorders such like depression, anxiety and Alzheimer's disease, were prepared Thus, reacting indole with 3-acetyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-sulfonyl chloride followed by N-deacetylation afforded I [R1-R3 = H; J = indol-1-yl]. All exemplified compds. I showed pKi of 7.7-9.7 at human cloned 5-HT6 receptors.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

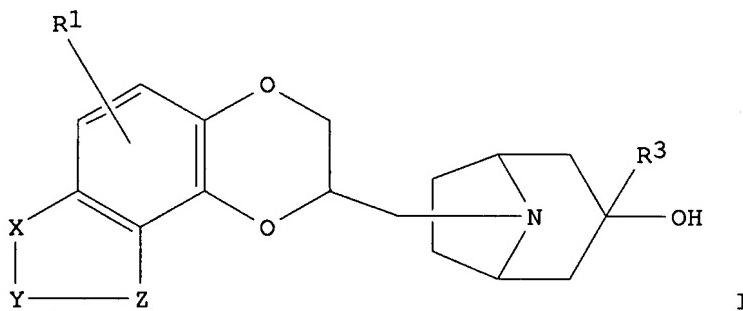
L4 ANSWER 13 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:849646 CAPLUS
 DOCUMENT NUMBER: 137:353043
 TITLE: Preparation of azabicyclymethyl derivatives of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene as 5-HT1A antagonists
 INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan
 PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
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| WO 2002088145 | A1 | 20021107 | WO 2002-US13114 | 20020425 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2002303478 | A1 | 20021111 | AU 2002-303478 | 20020425 <-- |
| US 2002183336 | A1 | 20021205 | US 2002-131917 | 20020425 <-- |
| US 6780860 | B2 | 20040824 | | |
| US 2005085475 | A1 | 20050421 | US 2004-878715
US 2001-286818P
US 2002-131917
WO 2002-US13114 | 20040628
P 20010426
A1 20020425
W 20020425 |
| PRIORITY APPLN. INFO.: | | | | |

OTHER SOURCE(S): MARPAT 137:353043

GI



AB Azabicyclylmethyl derivs. of 7,8-dihydro-1,6,9-trioxa-3-azacyclopenta[a]naphthalene [I; wherein X-Y-Z = N:C(R2)-O, N:C(R2)-NH, NH-C(R2):CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, halo, CF3, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.] were prepared. For example, (8R)-2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) was reacted with 3-phenyl-8-azabicyclo[3.2.1]octan-3-ol to give 8-[(2-methyl-7,8-dihydro[1,4]dioxino[2,3-g][1,3]benzoxazol-8-yl)methyl]-3-phenyl-8-azabicyclo[3.2.1]octanol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:849633 CAPLUS

DOCUMENT NUMBER: 137:353033

TITLE: Preparation of azabicyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline as 5-HT1A antagonists

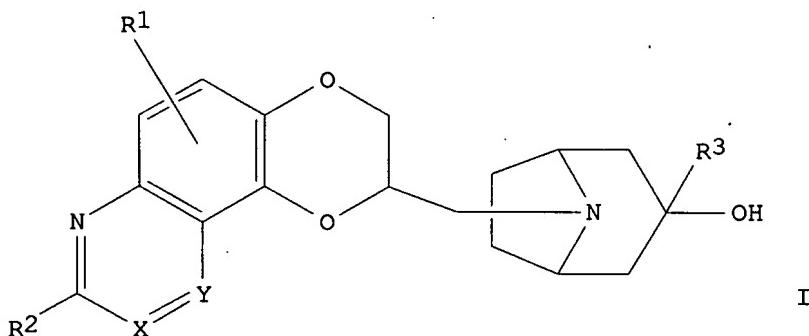
INVENTOR(S): Stack, Gary Paul; Gilbert, Adam Matthew; Tran, Megan Wyeth, John, and Brother Ltd., USA

PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 36 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2002088130 | A1 | 20021107 | WO 2002-US12953 | 20020425 <-- |
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| US 6861427 | B2 | 20050301 | | |
| AU 2002303462 | A1 | 20021111 | AU 2002-303462 | 20020425 <-- |
| US 2006264437 | A1 | 20061123 | US 2004-13577
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| PRIORITY APPLN. INFO.: | | | | |

OTHER SOURCE(S): MARPAT 137:353033
 GI



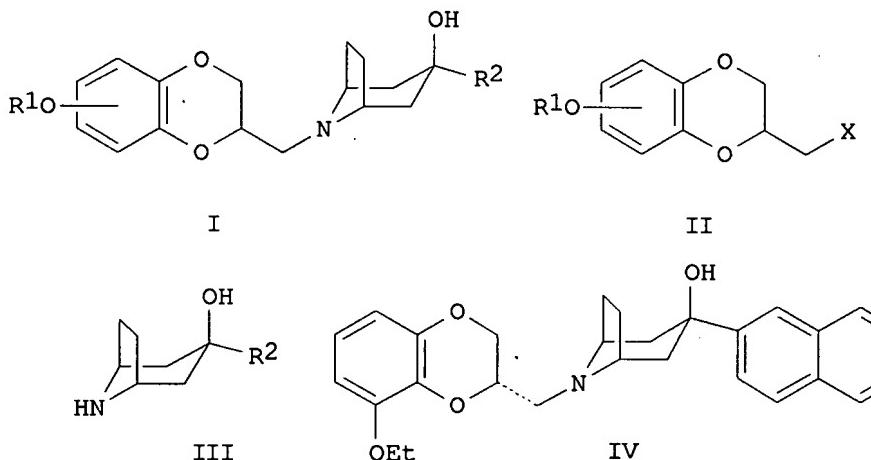
AB Azabicyclomethyl derivs. of 2,3-dihydro-1,4-dioxino-[2,3-f]quinoline [I; wherein X = N, CR4; Y = N, CH; R1 = H, halo, CN, carboxamido, carboalkoxy, CF3, etc.; R2 = H, OH, halo, amino, mono- or dialkylamino, etc.; R3 = Ph, naphthyl, anthracyl, phenanthryl, pyridyl, pyrimidyl, etc.; R4 = H, (C1-C6)alkyl] were prepared. For example, (2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl 4-methylbenzenesulfonate (synthetic preparation given) is reacted with 3-phenyl-8-aza-bicyclo[3.2.1]octan-3-ol to give the S-enantiomer of 8-[(8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl]-3-phenyl-8-azabicyclo[3.2.1]octan-3-ol. The title compds. are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and are also useful for the treatment of disorders such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as eating disorders, disorders of thermoregulation, and sleep and sexual dysfunction.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:832796 CAPLUS
DOCUMENT NUMBER: 137:337897
TITLE: Preparation of 8-aza-bicyclo[3.2.1]octan-3-ol
derivatives of 2,3-dihydro-1,4-benzodioxan and their
5-HT1A antagonist activity
INVENTOR(S): Gilbert, Adam Matthew; Stack, Gary Paul
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| WO 2002085900 | A1 | 20021031 | WO 2002-US12837 | 20020424 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2003032648 | A1 | 20030213 | US 2002-128057 | 20020423 |
| US 6656951 | B2 | 20031202 | | |
| AU 2002250607 | A1 | 20021105 | AU 2002-250607 | 20020424 |
| US 2004063728 | A1 | 20040401 | US 2003-663533 | 20030916 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2001-286061P | P 20010424 |
| | | | US 2002-128057 | A1 20020423 |
| | | | WO 2002-US12837 | W 20020424 |

OTHER SOURCE(S): MARPAT 137:337897
GI



AB The title compds. I ($R_1 = 1-6$ carbon straight chain alkyl, 3-8 carbon branched alkyl, $R_2 = Ph$, naphthyl, pyridyl, etc.) were prepared by reacting benzodioxans II ($X = \text{halogen}$, SO_2CF_3 , alkylsulfonate, etc.) with the corresponding hydroxy azabicyclooctanol derivs. III. Thus, naphthalenylazabicyclooctanol IV was prepared from tropinone,

2-bromonaphthalene, and (R)-toluene-4-sulfonic acid 8-ethoxy-2,3-dihydrobenzo[1,4]dioxin-2-ylmethyl ester. In the HC 5-HT1A binding assay, IV had an activity of 5.9 nm Ki. I are useful for treating the cognitive deficits due to aging, stroke, head trauma, Alzheimer's disease or other neurodegenerative diseases, or schizophrenia and also treatment of disorders related to excessive serotonergic stimulation, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, which are known to be, at least in part, under serotonergic influence.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832788 CAPLUS

DOCUMENT NUMBER: 137:337885

TITLE: Preparation of heterocyclyloxy-, heterocyclthioxy- and heterocyclaminobenzazoles as 5-hydroxytryptamine-6 (5-HT6) ligands

INVENTOR(S): Zhou, Ping; Harrison, Boyd; Lynn, Li; Yanfang

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

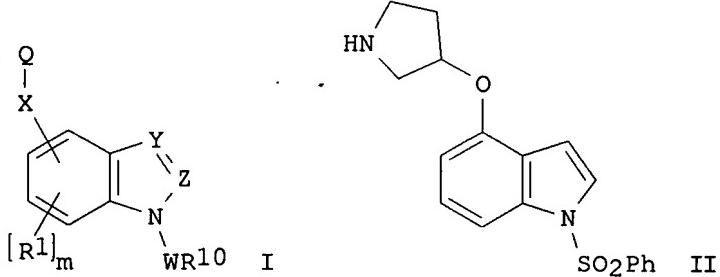
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002085892 | A1 | 20021031 | WO 2002-US12415 | 20020419 <-- |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2444095 | A1 | 20021031 | CA 2002-2444095 | 20020419 <-- |
| AU 2002307424 | A1 | 20021105 | AU 2002-307424 | 20020419 <-- |
| US 2003069278 | A1 | 20030410 | US 2002-126598 | 20020419 |
| US 6815456 | B2 | 20041109 | | |
| EP 1385842 | A1 | 20040204 | EP 2002-764248 | 20020419 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| HU 200303958 | A2 | 20040428 | HU 2003-3958 | 20020419 |
| CN 1518547 | A | 20040804 | CN 2002-812308 | 20020419 |
| BR 2002009056 | A | 20040810 | BR 2002-9056 | 20020419 |
| JP 2004526787 | T | 20040902 | JP 2002-583419 | 20020419 |
| IN 2003KN01264 | A | 20060310 | IN 2003-KN1264 | 20031006 |
| NO 2003004648 | A | 20031120 | NO 2003-4648 | 20031017 |
| ZA 2003009004 | A | 20050221 | ZA 2003-9004 | 20031119 |
| US 2005065186 | A1 | 20050324 | US 2004-949062 | 20040924 |
| PRIORITY APPLN. INFO.: | | | US 2001-285643P | P 20010420 |
| | | | US 2002-126598 | A3 20020419 |
| | | | WO 2002-US12415 | W 20020419 |

OTHER SOURCE(S): MARPAT 137:337885

GI



AB The title compds. [I; W = SO₂, CO, CONH, CSNH, (CH₂)_x; X = O, SON, NR₁₁; Y = CR₁₂, N; Z = CR₁₃, N with the proviso that when Y = N then Z must be CR₁₃; m, x = 0-3; Q = (un)substituted 3-pyrrolidinyl, 3-/or 4-piperidinyl; R₁ = halo, CN, alkyl, etc.; R₁₀ = alkyl, aryl, heteroaryl; R₁₁ = H, alkyl, alkenyl, etc.; R₁₂, R₁₃ = H, halo, alkyl, etc.; n = 0-2], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT₆ receptor, were prepared E.g., a 3-step synthesis of II.HCl, starting from 3-pyrrolidinol, which showed Ki of 8.0 nM against 5-HT₆ receptor binding, was given.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:832758 CAPLUS

DOCUMENT NUMBER: 137:337883

TITLE: Preparation of heterocyclalkoxy-, heterocyclalkylthio- and heterocyclalkylaminobenzazoles as 5-hydroxytryptamine-6 (5-HT6) ligands

INVENTOR(S): Li Yanfang; Zhou Bing

INVENTOR(S): Li, Jianfang, Zhou, Fling
PATENT ASSIGNEE(S): Wyeth, John and Brother Ltd USA

PATENT ASSIGNEE(S): WYETH, JOHN, and BROTH
SOURCE: PCT Int. Appl. No. 51 pp

for the App
CODEN: PTXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Letter
LANGUAGE: English

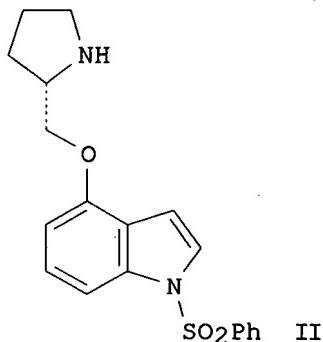
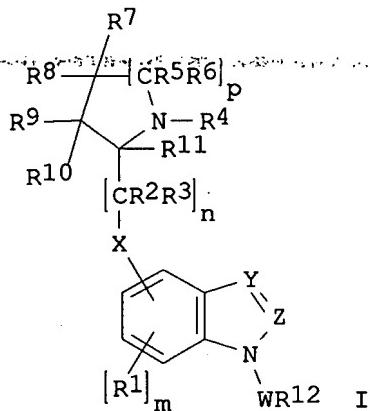
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|--------------|
| WO 2002085853 | A2 | 20021031 | WO 2002-US12512 | 20020419 <-- |
| WO 2002085853 | A3 | 20021219 | | |
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2444036 | A1 | 20021031 | CA 2002-2444036 | 20020419 <-- |
| AU 2002309585 | A1 | 20021105 | AU 2002-309585 | 20020419 <-- |
| US 2003078286 | A1 | 20030424 | US 2002-126805 | 20020419 |
| US 6831094 | B2 | 20041214 | | |
| HU 200303801 | A2 | 20040301 | HU 2003-3801 | 20020419 |
| EP 1392682 | A2 | 20040303 | EP 2002-736592 | 20020419 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |

| | | | | |
|------------------------|----|-----------------|----------------|----------|
| CN 1518548 | A | 20040804 | CN 2002-812340 | 20020419 |
| BR 2002009047 | A | 20040810 | BR 2002-9047 | 20020419 |
| JP 2004526781 | T | 20040902 | JP 2002-583380 | 20020419 |
| IN 2003KN01298 | A | 20060317 | IN 2003-KN1298 | 20031013 |
| NO 2003004647 | A | 20031120 | NO 2003-4647 | 20031017 |
| ZA 2003009009 | A | 20050221 | ZA 2003-9009 | 20031119 |
| US 2005065185 | A1 | 20050324 | US 2004-949061 | 20040924 |
| IN 2004KO00858 | A | 20061027 | IN 2004-KO858 | 20041227 |
| PRIORITY APPLN. INFO.: | | US 2001-285644P | P 20010420 | |
| | | US 2002-126805 | A3 20020419 | |
| | | WO 2002-US12512 | W 20020419 | |
| | | IN 2003-KN1298 | A3 20031013 | |

OTHER SOURCE(S): MARPAT 137:337883
GI



AB The title compds. [I; W = SO₂, CO, CONH, CSNH, (CH₂)_x; X = O, SO_y, NR₁₃; Y = CR₁₄, N; Z = CR₁₅, N with the proviso that when Y = N then Z must be CR₁₅; m, x = 0-3; n, p = 1-3; R₁ = halo, CN, alkyl, etc.; R₂-R₃, R₅-R₁₁ = H, alkyl; R₄ = H, alkyl, cycloalkyl, etc.; R₁₂ = alkyl, aryl, heteroaryl; y = 0-2; R₁₃ = H, alkyl, alkenyl, etc.; R₁₄, R₁₅ = H, halo, alkyl, etc.], useful for the therapeutic treatment of disorders relating to or affected by the 5-HT₆ receptor, were prepared E.g., a 3-step synthesis of (2S)-II.HCl, starting from 4-hydroxyindole and (S)-1-tert-butoxycarbonyl-2-pyrrolidinemethanol, which showed Ki of 6.0 nM against 5-HT₆ receptor binding, was given.

L4 ANSWER 18 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:831752 CAPLUS

DOCUMENT NUMBER: 137:337875

TITLE: Preparation of 6H-oxazolo[4,5-e]indoles as nicotinic acetylcholine receptor ligands and/or serotonergic ligands

INVENTOR(S): Boettcher, Henning; Schiemann, Kai; Leibrock, Joachim

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 12 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

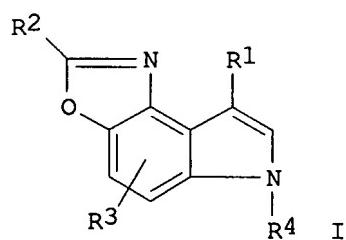
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|------------------|--------------|
| DE 10121217 | A1 | 20021031 | DE 2001-10121217 | 20010430 <-- |
| CA 2445835 | A1 | 20021107 | CA 2002-2445835 | 20020405 <-- |

WO 2002088139 A1 20021107 WO 2002-EP3784 20020405 <--
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2002257752 A1 20021111 AU 2002-257752 20020405 <--
 EP 1392699 A1 20040303 EP 2002-727527 20020405
 EP 1392699 B1 20050316
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 HU 200304034 A2 20040428 HU 2003-4034 20020405
 JP 2004527562 T 20040909 JP 2002-585437 20020405
 AT 291026 T 20050415 AT 2002-727527 20020405
 ES 2239226 T3 20050916 ES 2002-2727527 20020405
 US 2005101649 A1 20050512 US 2003-476306 20031029
 DE 2001-10121217 A 20010430
 WO 2002-EP3784 W 20020405
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): CASREACT 137:337875; MARPAT 137:337875
 GI

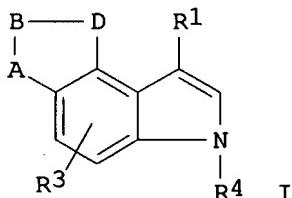


AB Title compds. [I; R1 = H, Het1; R2 = H, A, cycloalkyl, (CH2)pN(R5)2,
 (CH2)pOR5, (CH2)nAr, (CH2)nHet; R3 = H, halo, OH, OA, O(CH2)nAr; R4 = H,
 A, (CH2)nAr; R5 = H, A; A = (branched) C1-10 alkyl; Ar = (substituted) Ph,
 naphthyl, biphenyl; Het = 5-10 membered (un)saturated aromatic (substituted)
 mono- or bicyclic heterocycl; Het1 = 5-10 membered (un)saturated aromatic
 (substituted) mono-, bi-, tricyclic heterocycl; n = 0-8; p = 1-8], were
 prepared as nicotinic acetylcholine receptor ligands and/or serotonergic
 ligands (no data). Thus, MeNH2 and MnO2 were added to 5-hydroxy-1H-indole
 in DMF followed by stirring for 18 h at room temperature to give
 6H-oxazolo[4,5-e]indole.

L4 ANSWER 19 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2002:831751 CAPLUS
 DOCUMENT NUMBER: 137:337918
 TITLE: Preparation of dihydroimidazo[4,5-e]indoless and
 7H-pyrrolo[3,2-f]quinoxalines as nicotinic
 acetylcholine receptor ligands and/or serotonergic
 ligands
 INVENTOR(S): Schiemann, Kai; Boettcher, Henning; Leibrock, Joachim
 PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
 SOURCE: Ger. Offen., 10 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|--------------|
| DE 10121215 | A1 | 20021031 | DE 2001-10121215 | 20010430 <-- |
| CA 2445834 | A1 | 20021107 | CA 2002-2445834 | 20020330 <-- |
| WO 2002088143 | A2 | 20021107 | WO 2002-EP3582 | 20020330 <-- |
| WO 2002088143 | A3 | 20030123 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002310904 | A1 | 20021111 | AU 2002-310904 | 20020330 <-- |
| EP 1383774 | A2 | 20040128 | EP 2002-735200 | 20020330 |
| PR: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| HU 200304046 | A2 | 20040428 | HU 2003-4046 | 20020330 |
| JP 2004529936 | T | 20040930 | JP 2002-585441 | 20020330 |
| US 2004142935 | A1 | 20040722 | US 2003-476234 | 20031029 |
| PRIORITY APPLN. INFO.: | | | DE 2001-10121215 | A 20010430 |
| | | | WO 2002-EP3582 | W 20020330 |

OTHER SOURCE(S): MARPAT 137:337918
GI



AB Title compds. [I; ABD = NR6CR2:N, N:CR2NR6, N:CR7CR8:N; R1 = H, Het1; R2 = H, (branched) alkyl, cycloalkyl, (CH₂)_nN(R₅)₂, (CH₂)_nOR₅, (CH₂)_nAr, (CH₂)_nHet; R₃ = H, halo, OH, alkoxy, O(CH₂)_nAr; R₄ = H, (branched) alkyl, (CH₂)_nAr; R₅ = H, (branched) alkyl; R₆-R₈ = H, (branched) alkyl, (CH₂)_nAr; or R₇R₈ = C₃-6 alkylene, Ar = (substituted) Ph, naphthyl, biphenyl; Het = 5-10 membered (un)saturated aromatic (substituted) mono- or bicyclic heterocyclil; Het1 = 5-10 membered (un)saturated aromatic (substituted) mono-, bi-, tricyclic heterocyclil; n = 0-8], were prepared as nicotinic acetylcholine receptor ligands and/or serotonergic ligands (no data). Thus, 3-quinuclidinone hydrochloride and KOH were added to 5-nitro-1H-indole in H₂O/MeOH followed by stirring for 48 h at boiling temperature to give 3-(5-nitro-1H-indol-3-yl)-1-azabicyclo[2.2.2]oct-2-ene which

was treated with H₂ and Pd/C in MeOH. The resulting 3-(1-azabicyclo[2.2.2]oct-3-yl)-1H-indol-5-ylamine was stirred with EtNH₂ and MnO₂ in DMF for 12 h at room temperature to give 8-(1-aza-bicyclo[2.2.2]oct-3-yl)-2-methyl-3,6-dihydroimidazo[4,5-e]indole.

L4 ANSWER 20 OF 100 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:814288 CAPLUS

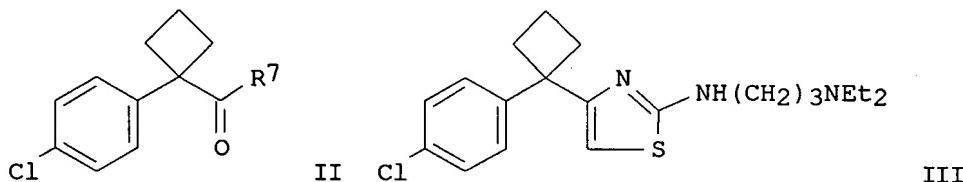
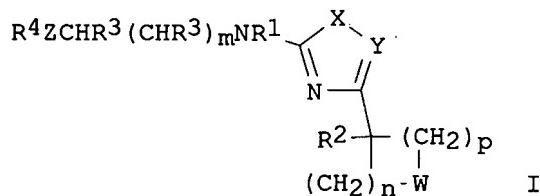
DOCUMENT NUMBER: 137:325411

TITLE: Thiazole and other heterocyclic ligands for mammalian dopamine, muscarinic and serotonin receptors and transporters

INVENTOR(S): Cuny, Gregory D.; Hauske, James R.; Heffernan, Michele L.; Holland, Joanne M.; Persons, Paul E.; Radeke, Heike
 PATENT ASSIGNEE(S): Sepracor, Inc., USA
 SOURCE: PCT Int. Appl., 153 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002083863 | A2 | 20021024 | WO 2002-US11692 | 20020412 <-- |
| WO 2002083863 | A3 | 20040212 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002314744 | A1 | 20021028 | AU 2002-314744 | 20020412 <-- |
| US 2003105071 | A1 | 20030605 | US 2002-123089 | 20020412 |
| US 6699866 | B2 | 20040302 | | |
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OTHER SOURCE(S): MARPAT 137:325411
 GI



AB Title compds. I [W = CH₂, O, NR; X = O, S; Y = CR₅, N; Z = NR₆, O; R, R₁, R₄ = H, alkyl; R₂ = aryl, heteroaryl; R₃ = H, alkyl, alkoxy, alkylamino; R₅ = H, alkyl, halogen; R₆ = H, alkyl, aryl, aralkyl; R₁R₃, R₁R₄, R₃R₄, R₃R₆, R₄R₆ = bond; m, n = 0-3; p = 1-3] and their stereoisomers were prepared for use as ligands for various mammalian cellular receptors, including G-protein coupled receptors, such as mammalian dopamine,

muscarinic or serotonin receptors or transporters. These compds. will find use in the treatment of ailments, such as addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, Tourette's syndrome, psychiatric disorders, stroke, senile dementia, peptic ulcers, pulmonary obstruction disorders, and asthma. Thus, the acid II [R7 = OH] was converted to II [R7 = CH₂Cl] and treated with Et₂N(CH₂)₃NHCSNH₂ to give the thiazole III. III had IC₅₀ for 5-HT_{2c} receptor binding <100 nM and d₃ receptor binding <1000 nM.

=> FIL STNGUIDE

COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST

72.55 72.76

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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FILE 'CAPLUS' ENTERED AT 16:14:15 ON 06 JUL 2007

L1 13577 S 5-HT RECEPTOR?
L2 8597 S L1 AND PY<2003
L3 1178 S L2 AND DISORDER?
L4 100 S L3 AND ALZHEIMER?
L5 31 S L4 AND PARKINSON?

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COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST

0.12 72.88

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE ENTRY TOTAL SESSION

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